NAPHTHALENE DERIVATIVES

ABSTRACT

Compounds of the formula (1) where R_1 is of formula (II), (III), or (IV), or (V); R_2 is $-R_4$, $-O-R_4$, $-O-S(O)_2-R_4$, $-NR_4R_5$, $R_4-(CH_2)_b-NH(C=X)-(CH_2)_c-$, $R_4-(CH_2)_b-O(C-O)NH-(CH_2)_c-(C=O)NH-$, $R_4-(C=O)NH-(C=O)NH-$, $-CH_2)_b-NH(C=X)-(CH_2)_c-R_4$, $R_4-(CH_2)_b-O(C=O)CH_2)_c-$, $-(CH_2)_b-O(C=O)-(CH_2)_c-R_4$, $-NH(C=X)NH-R_4$, $R_4-O(C=O)O-$, $-O(C=O)NH-R_4$, $R_4-O(C=O)NH-$, $-(CH_2)_b-(C=O-(CH_2)_c-R_4$, $-NH-S(O)_2-R_4$, $-C(OH)R_4R_5$, $-CH(OH)-R_4$, $-(C=O)-NR_4$, $-CN$, $-NO_2$, substituted C_1 to C_6 alkyl, substituted or unsubstituted C_1 to C_6 alkenyl, or substituted or unsubstituted C_1 to C_6 alkynyl, said substituted moieties substituted with a moiety of the formula $-R_4$, $-R_4R_5$, $-O-R_4$, or $-S(O)_d-R_4$; R_3 is hydrogen, C_1 to C_6 alkyl, C_1 to C_6 alkylaryl, or aryl; R_4 and R_5 are each independently (XV), (XVI), (XVII), (XVII) hydrogen, $-CF_3$, C_1 to C_6 alkyl, C_1 to C_6 alkylaryl, with the proviso that when R_2 is $-R_4$ or $-OR_4$, R_4 is not hydrogen or C_1 to C_6 alkyl. These compounds are useful psychotherapeutics and are potent serotonin (5-HT_1) agonists and antagonists and may be used in the treatment of depression, anxiety, eating disorders, obesity, drug abuse, cluster headache, migraine, pain and chronic paroxysmal hemicrania and headache associated with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compounds can also be used as centrally acting antihypertensives and vasodilators.